

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY



(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 23 AUG 2005

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Applicant's or agent's file reference PC25872A	FOR FURTHER ACTION See Form PCT/PEA/416	
International application No. PCT/IB2004/003054	International filing date (day/month/year) 20.09.2004	Priority date (day/month/year) 30.09.2003
International Patent Classification (IPC) or national classification and IPC C07D215/42		
Applicant PFIZER PRODUCTS INC.		
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 9 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>		
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input checked="" type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input checked="" type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input checked="" type="checkbox"/> Box No. VI Certain documents cited</p> <p><input checked="" type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input checked="" type="checkbox"/> Box No. VIII Certain observations on the international application</p>		
Date of submission of the demand 06.10.2004	Date of completion of this report 19.08.2005	
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Zellner, A Telephone No. +49 89 2399- 	

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Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

Description, Pages

1-39 as originally filed

Claims, Numbers

1-15 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

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Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
- ☐ the entire international application,
 - ☒ claims Nos. 10-15
because:
 - ☒ the said international application, or the said claims Nos. 10-15 relate to the following subject matter which does not require an international preliminary examination (specify):
see separate sheet
 - ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
 - ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
 - ☐ no international search report has been established for the said claims Nos. 19,39
 - ☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:
 - the written form ☐ has not been furnished
 - ☐ does not comply with the standard
 - the computer readable form ☐ has not been furnished
 - ☐ does not comply with the standard
 - ☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.
 - ☐ See separate sheet for further details

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Box No. IV Lack of unity of invention

1. ☒ In response to the invitation to restrict or pay additional fees, the applicant has:
- ☐ restricted the claims.
 - ☐ paid additional fees.
 - ☐ paid additional fees under protest.
 - ☒ neither restricted nor paid additional fees.
2. ☐ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.
3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is
- ☐ complied with.
 - ☒ not complied with for the following reasons:
see separate sheet
4. Consequently, this report has been established in respect of the following parts of the international application:
- ☐ all parts.
 - ☒ the parts relating to claims Nos. 1-14 .

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	2-5,7-14
	No: Claims	1,6
Inventive step (IS)	Yes: Claims	2-5,7-14
	No: Claims	1,6
Industrial applicability (IA)	Yes: Claims	1-9
	No: Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

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Box No. VI Certain documents cited

1. Certain published documents (Rule 70.10)
and /or
2. Non-written disclosures (Rule 70.9)
see separate sheet

Box No. VII Certain defects in the international application

The following defects in the form or contents of the international application have been noted:

see separate sheet

Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

The following documents (D) are referred to in this communication; the numbering will be adhered to in the rest of the procedure:

D1: WO-A-00 17165
D2: WO-A-00 17164
D3: WO-A-02 11710
D4: WO-A-03 000295
D5: WO-A-04 004777

item III

For the assessment of the present claims 10-15 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

item IV

Claims 1-14 relate to three different classes of compounds (I - III) and to their use for indicating a chemical compound in a mammal. According to the application, all of the said compounds are metabolites of torcetrapib. Specific compounds are known, as well as a particular use thereof, either for the preparation of another compound or as an inhibitor of CETP (see D1-D4). Claim 15 is again directed to a further different use of compounds according to claims 1 and 6. The technical feature combining claims 1-14 and 15 are the said compounds. Since specific examples are known they can, however, not be considered a special technical feature within the meaning of Rule 13.2 PCT and the two alleged inventions are thus not so linked as to form a single general inventive concept, the requirements of unity of invention are not met (Rule 13.1 PCT).

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The application is thus considered as to comprise the following groups of alleged inventions:

Claims 1-14:

Compounds of formulae (I), (II) and (III) (claims 1-9) and methods according to claims 10-14.

Claim 15:

A method for treating atherosclerosis.

Group A: Claims 1-14:

item V

1. Novelty (Art. 33(2) PCT)

- 1.1. Documents D1 and D2 disclose compounds falling within the scope of present claim 1 (see citations in the International Search Report).
- 1.2. Documents D3 and D4 disclose compounds falling within the scope of present claim 6 (see citations in the International Search Report).
- 1.3. The subject-matter of claims 1 and 6 is thus not considered novel within the meaning of Art. 33(2) PCT.
- 1.4. The remaining claims appear to fulfil the requirements of Art. 33(2) PCT.

2. Inventive step (Art. 33(3) PCT)

None of the documents cited in the International Search Report appear to provide the technical teaching to use compounds according to present claims 1-9 for indicating the presence or exposure as claimed in claims 10-14. The presence of an inventive step for the subject-matter of claims 2-5 and 7-14 can thus be acknowledged.

3. Industrial applicability (Art. 33(4) PCT)

Can be acknowledged for claims 1-9.

item VI

Document D5 was published after the priority date of the present application but before its international filing date. Its content would be considered as forming part of the state of the art if the priority of the present application was found to be invalid. When entering the regional european phase the document will be considered for the question of novelty even if the claimed priority is valid.

item VII

Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art disclosed in the documents D1-D4 is not mentioned in the description, nor are these documents identified therein.

item VIII

1. Several compounds are excluded expressis verbis from claim 1 although the reason therefore is not indicated in the description (Art. 6 PCT).
2. The definition of the first group R^2 in the second proviso of claim 1 appears to contain an error ($-\text{CH}_2-\text{CH}_3$ instead of $-\text{CH}_2-\text{CH}_2$) (Art. 6 PCT).

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3. The "4-[" at the beginning of lines 16 and 18 of p. 41 (claim 5) appear to be incorrect (Art. 6 PCT).